SESQUITERPENE LACTONES AND OTHER COMPONENTS

OF Centaurea iberica

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It is known that representatives of the genus *Centaurea* L. are sources of biologically active sesquiterpene lactones [1, 2] and the antifungal drug Tsepseudin has been developed from some of them, such as cnicin [3].

In the flora of Central Asia, *Centaurea* L. – one of the large genera of the family Asteraceae (Compositae) – is represented by 27 species, many of which are used in folk medicine [4]. According to the literature, the Central Asian centaureas have been little studied [5, 6], and the reports for some of them bear a contradictory nature. For example, for one of the species widely distributed in Central Asia – *Centaurea iberica* Treb. – the absence of lactones in specimens collected in the environs of Almaty was reported in [7], while the isolation of the germacranolide cnicin from raw material gathered in the Botanical Garden of the Academy of Sciences of the Republic of Armenia (Erevan) was reported in [8]. This contradiction may show the existence of two chemoforms of *Centaurea iberica* Treb., or that in [7] the method of isolating lactones was chosen incorrectly.

In view of this, we have investigated for the presence of lactones the epigeal organs of Iberian centaurea growing in the Republic of Uzbekistan. The ground air-dry raw material (2 kg of leaves and anthodia) collected in the first half of the flowering phase (in the first ten days of June) in the environs of the village of Kibrai, Tashkentskaya oblast, was exhaustively extracted with chloroform. The extract was evaporated in vacuum, the residue was treated with 60% aqueous alcohol, and the resulting precipitate was separated off. When the filtrate was treated with chloroform, 52 g of a mixture of substances was obtained. This was chromatographed on a column of KSK silica gel (100-200 mesh) at a ratio of substance to support of 1:20. The fractions (500 ml each) from the chromatographic separation were distributed in the following way: 1-5 (hexane), 6-15 (hexane–ethyl acetate (9:1)), 16-27 (hexane–ethyl acetate (4:1)), 28-52 (hexane–ethyl acetate (7:3)), 53-72 (hexane–ethyl acetate (3:2)), 73-85 (hexane–ethyl acetate (1:1)), 86-105 (ethyl acetate), 106-120 (ethyl acetate – ethanol (1:1)).

Fractions 10-12 contained substance (1) with the composition $C_{30}H_{50}O$, mp 186-187°C (from alcohol), mass spectrum: m/z 426, 411, 408, 356, 314, 272, 257, 218, 207, 203, 199. The peaks of ions with m/z 218, 207, and 203 showed that the compound was a pentacyclic triterpene of the α,β -amyrin series. On the basis of chemical and spectral characteristics, compound (1) was identified as α -amyrin [9].

From the following fractions we isolated substance (2), with the composition $C_{29}H_{50}O$, mp 137-139°C (acetone). This compound was identified as β -sitosterol (M⁺ 414). According to the mass spectrum [10], the isolated sample (2) also contained small amounts of stigmasterol (M⁺ 412) and campesterol (M⁺ 400) as impurities.

The rechromatography of the combined ethyl acetate fractions (86-105) on a silica gel column in a ratio of 1:30 with elution by the chloroform – alcohol (9:1) system led to the isolation of two substances of lactone nature. One of them, with the composition $C_{20}H_{26}O_7$, M⁺ 378, mp 143°C (decomp., from ethanol) was identified by its melting point and its IR, mass, and PMR spectra in comparison with the literature [11] as cnicin (3). The second, minor, lactone, with the composition $C_{15}H_{20}O_4$, M⁺ 264, mp 136°C (decomp., from chloroform – acetone) was identified from its chemical and spectral characteristics as salonitenolide (4) [12].

It was impossible to isolate sesquiterpene lactones from Iberian centaurea by the method of aqueous extraction used in [7].

Thus, it has been shown that the epigeal part of Iberian centaurea growing in the Republic of Uzbekistan produces the biologically active lactone cnicin, the isolation of which depends on the method of extraction from the plant raw material. This is the first time that compounds (1), (2), and (4) have been isolated from this species of *Centaurea*.

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